

chain nodes :

23 24 25 26 27 28 29 30 31 32 33 34 35 36 37 38

ring nodes :

1 2 3 4 5 6 7 8 9 10 11 12 13 14 15 16

chain bonds :

23-24 24-25 26-28 27-28 29-30 31-32 32-33 34-35 36-37 37-38

ring bonds :

1-2 1-5 2-3 3-4 3-6 4-5 4-9 6-7 6-13 6-16 7-8 7-10 8-9 8-12  
10-11 11-12 13-14 14-15 15-16

exact/norm bonds :

1-2 1-5 2-3 3-4 3-6 4-5 4-9 6-7 6-13 6-16 7-8 7-10 8-9 8-12  
10-11 11-12 13-14 14-15 15-16 23-24 24-25 26-28 27-28 29-30  
31-32 32-33 34-35 36-37 37-38

G1:C,O,S,N

G2:CH2,CH, [\*1-\*2], [\*3-\*4], [\*5-\*6], [\*7-\*8], [\*9-\*10], [\*11-\*12]

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom  
10:Atom 11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 23:CLASS  
24:CLASS 25:CLASS 26:CLASS 27:CLASS 28:CLASS 29:CLASS 30:CLASS  
31:CLASS 32:CLASS 33:CLASS 34:CLASS 35:CLASS 36:CLASS 37:CLASS  
38:CLASS

09/868,535

=> d his

(FILE 'HOME' ENTERED AT 14:13:11 ON 09 SEP 2002)

L1 FILE 'CAPLUS' ENTERED AT 14:13:18 ON 09 SEP 2002  
1 S WO200037470/PN  
SELECT RN L1 1

L2 FILE 'REGISTRY' ENTERED AT 14:13:38 ON 09 SEP 2002  
97 S E1-97

L3 FILE 'CAPLUS' ENTERED AT 14:13:49 ON 09 SEP 2002  
1 S L1 AND L2

FILE 'STNGUIDE' ENTERED AT 14:14:34 ON 09 SEP 2002

L4 FILE 'REGISTRY' ENTERED AT 14:22:52 ON 09 SEP 2002  
STRUCTURE UPLOADED  
L5 QUE L4  
L6 5 S L5  
L7 79 S L4 SSS FUL

L8 FILE 'CAPLUS' ENTERED AT 14:24:26 ON 09 SEP 2002  
3 S L7

=> d bib abs hitstr 1-3

X  
 IB ANSWER 1 OF 3 CAPLUS COPYRIGHT 2002 ACS  
 IN 2001:319725 CAPLUS  
 DN 134:320888  
 TI Use of substance P antagonists for influencing the circadian timing system  
 IN Dugovic, Christine Jeanne; Janssens, Frans Eduard  
 PA Janssen Pharmaceutica N.V., Belg.  
 SO PCT Int. Appl., 37 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English  
 FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2001030348	A1	20010503	WO 2000-EP10201	20001016
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				

PRAI EP 1999-203499 A 19991025

OS MARPAT 134:320888

AB The invention concerns the use of N-contg. heterocyclic derivs. (Markush included) in the manuf. of medicaments useful for beneficially influencing the circadian timing system or enhancing the sleep efficiency of a mammal, suitably a human being. The compds. of the invention are described in full in WO 97/16440, WO 97/14324, WO 97/24350, and WO 97/24356.

IT **336790-73-9 336790-73-9D**, N-oxides and stereoisomers  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(substance P antagonists for influencing circadian timing system)

RN 336790-73-9 CAPLUS

CN Piperidine, 1-[3,5-bis(trifluoromethyl)benzoyl]-4-(5,6-dihydrospiro[11H-imidazo[2,1-b][3]benzazepine-11,4'-piperidin]-1'-yl)-2-(phenylmethyl)-(9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 336790-73-9 CAPLUS

CN Piperidine, 1-[3,5-bis(trifluoromethyl)benzoyl]-4-(5,6-dihydrospiro[11H-imidazo[2,1-b][3]benzazepine-11,4'-piperidin]-1'-yl)-2-(phenylmethyl)-(9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD  
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2002 ACS

AN 2000:441796 CAPLUS

DN 133:74016

TI preparation of spirotricyclic compounds as H1 receptor antagonists

IN Janssens, Frans Eduard; Leenaerts, Joseph Elisabeth

PA Janssen Pharmaceutica N.V., Belg.

SO PCT Int. Appl., 64 pp.

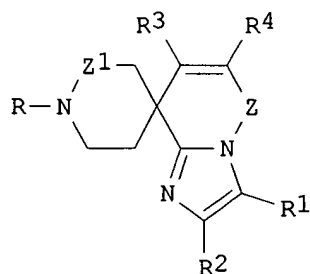
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

PATENT NO.                      KIND      DATE                      APPLICATION NO.      DATE  
 -----  
 PI    WO 2000037470              A1      20000629              WO 1999-EP10176      19991215  
       W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU,  
          CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL,  
          IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA,  
          MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI,  
          SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM,  
          AZ, BY, KG, KZ, MD, RU, TJ, TM  
       RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE,  
          DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF,  
          CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG  
       BR 9916371              A      20010918              BR 1999-16371              19991215  
       EP 1144411              A1      20011017              EP 1999-964625              19991215  
          R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,  
          IE, SI, LT, LV, FI, RO  
       NO 2001002710              A      20010601              NO 2001-2710              20010601  
 PRAI EP 1998-204347              A      19981219  
       WO 1999-EP10176              W      19991215  
 OS    MARPAT 133:74016  
 GI



AB Title compds. [I; R = Z2Z3R5, Z2NHCOR5, Z2R5; R1 = H, halo, alkyl, acyl, etc.; R2 = H, halo, alkyl, aryl, etc.; R3R4 = YCH:CH, CH:CHY, CH:CHCH:CH; R5 = (un)substituted heteroaryl, -tetrahydrofuranyl, etc.; Y = O, S, (alkyl)imino, alkanoylimino; Z = alkylene, CH:CH, CH2CH(OH), CH2O, etc.; Z1 = CH2 or CH2CH2; Z3 = O, S, NH] were prepd. Thus, 1-phenylmethyl-1H-imidazole was condensed with 1-phenylmethyl-4-piperidone and the product cyclized to give, after hydrogenation, I (R1 = R2 = H, R3R4 = CH:CHCH:CH, Z = CH2, Z1 = CH2CH2) (II; R = H) which was N-alkylated by 1-(2-bromoethyl)-4-ethyl-1,4-dihydro-5H-tetrazol-5-one to give II [R = 2-(4-ethyl-5-oxo-1,4-dihydro-1H-tetrazol-1-yl)ethyl]. Data for biol. activity of I were given.

## IT 279253-41-7P 279253-83-7P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(prepn. of spirotricyclic compds. as H1 receptor antagonists)

RN 279253-41-7 CAPLUS

CN Spiro[11H-imidazo[2,1-b][3]benzazepine-11,4'-piperidine]-1'-carboxylic acid, 3-(aminocarbonyl)-5,6-dihydro-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 279253-83-7 CAPLUS

CN Spiro[11H-imidazo[2,1-b][3]benzazepine-11,4'-piperidine]-3-carboxamide, 5,6-dihydro-, dihydrochloride (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

IT 193469-39-5P 194158-03-7P 279252-93-6P

279252-95-8P 279252-98-1P 279253-00-8P

279253-03-1P 279253-05-3P 279253-07-5P

279253-09-7P 279253-11-1P 279253-13-3P

279253-15-5P 279253-17-7P 279253-19-9P

279253-21-3P 279253-23-5P 279253-25-7P

279253-27-9P 279253-29-1P 279253-31-5P

279253-33-7P 279253-35-9P 279253-37-1P

279253-39-3P 279253-43-9P 279253-45-1P

279253-48-4P 279253-51-9P 279253-53-1P

279253-55-3P 279253-58-6P 279253-60-0P

279253-63-3P 279253-66-6P 279253-69-9P

279253-71-3P 279253-74-6P 279253-77-9P

279253-79-1P 279253-84-8P 279253-85-9P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of spirotricyclic compds. as H1 receptor antagonists)

RN 193469-39-5 CAPLUS

CN Spiro[11H-imidazo[2,1-b][3]benzazepine-11,4'-piperidine], 5,6-dihydro-, monohydrochloride (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 194158-03-7 CAPLUS

CN Spiro[11H-imidazo[2,1-b][3]benzazepine-11,4'-piperidine] (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 279252-93-6 CAPLUS

CN Spiro[11H-imidazo[2,1-b][3]benzazepine-11,4'-piperidine]-3-methanol, 5,6-dihydro- (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 279252-95-8 CAPLUS

CN Spiro[11H-imidazo[2,1-b][3]benzazepine-11,4'-piperidine]-3-methanol, 5,6-dihydro-, (2E)-2-butenedioate (2:1) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 279252-93-6

CMF C17 H21 N3 O

09/868,535

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

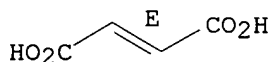
CM 2

CRN 110-17-8

CMF C4 H4 O4

CDES 2:E

Double bond geometry as shown.



RN 279252-98-1 CAPLUS

CN Sulfamic acid, cyclohexyl-, compd. with 5,6-dihydro-1'-methylspiro[11H-imidazo[2,1-b][3]benzazepine-11,4'-piperidine] (2:1) (9CI) (CA INDEX NAME)

CM 1

CRN 279252-97-0

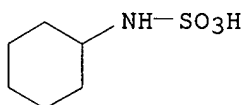
CMF C17 H21 N3

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

CM 2

CRN 100-88-9

CMF C6 H13 N O3 S



RN 279253-00-8 CAPLUS

CN Spiro[11H-imidazo[2,1-b][3]benzazepine-11,4'-piperidine], 1'-butyl-5,6-dihydro-, dihydrochloride (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 279253-03-1 CAPLUS

CN Sulfamic acid, cyclohexyl-, compd. with 1'-[3-(4-fluorophenoxy)propyl]-5,6-dihydrospiro[11H-imidazo[2,1-b][3]benzazepine-11,4'-piperidine] (2:1) (9CI) (CA INDEX NAME)

CM 1

CRN 279253-02-0

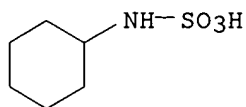
CMF C25 H28 F N3 O

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

CM 2

09/868,535

CRN 100-88-9  
CMF C6 H13 N O3 S



RN 279253-05-3 CAPLUS  
CN Spiro[11H-imidazo[2,1-b][3]benzazepine-11,4'-piperidine],  
5,6-dihydro-1'-(3-methyl-2-butenyl)-, monohydriodide (9CI) (CA INDEX  
NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 279253-07-5 CAPLUS  
CN 5H-Tetrazol-5-one, 1-[2-(5,6-dihydrospiro[11H-imidazo[2,1-b][3]benzazepine-  
11,4'-piperidin]-1'-yl)ethyl]-4-ethyl-1,4-dihydro-, dihydrochloride (9CI)  
(CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 279253-09-7 CAPLUS  
CN Spiro[11H-imidazo[2,1-b][3]benzazepine-11,4'-piperidine]-1'-acetonitrile,  
5,6-dihydro- (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 279253-11-1 CAPLUS  
CN Spiro[11H-imidazo[2,1-b][3]benzazepine-11,4'-piperidine]-1'-carboxylic  
acid, 5,6-dihydro-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 279253-13-3 CAPLUS  
CN Sulfamic acid, cyclohexyl-, compd. with 5,6-dihydro-1'-[(tetrahydro-2-  
furanyl)methyl]spiro[11H-imidazo[2,1-b][3]benzazepine-11,4'-piperidine]  
(2:1) (9CI) (CA INDEX NAME)

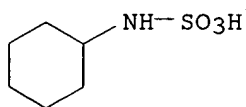
CM 1

CRN 279253-12-2  
CMF C21 H27 N3 O

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

CM 2

CRN 100-88-9  
CMF C6 H13 N O3 S



RN 279253-15-5 CAPLUS  
CN Sulfamic acid, cyclohexyl-, compd. with 5,6-dihydro-1'-(2-

thienylmethyl) spiro[11H-imidazo[2,1-b][3]benzazepine-11,4'-piperidine]  
(1:1) (9CI) (CA INDEX NAME)

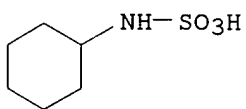
CM 1

CRN 279253-14-4  
CMF C21 H23 N3 S

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

CM 2

CRN 100-88-9  
CMF C6 H13 N O3 S



RN 279253-17-7 CAPLUS  
CN Spiro[11H-imidazo[2,1-b][3]benzazepine-11,4'-piperidine]-1'-propanoic  
acid, 3-(aminocarbonyl)-5,6-dihydro-, methyl ester (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 279253-19-9 CAPLUS  
CN Spiro[11H-imidazo[2,1-b][3]benzazepine-11,4'-piperidine]-3-carboxamide,  
5,6-dihydro-1'-(2-hydroxyethyl)- (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 279253-21-3 CAPLUS  
CN Spiro[11H-imidazo[2,1-b][3]benzazepine-11,4'-piperidine]-1'-acetic acid,  
3-(aminocarbonyl)-5,6-dihydro-, methyl ester (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 279253-23-5 CAPLUS  
CN Spiro[11H-imidazo[2,1-b][3]benzazepine-11,4'-piperidine]-1'-propanoic  
acid, 3-(aminocarbonyl)-5,6-dihydro-.alpha.-phenyl-, ethyl ester,  
monohydrochloride (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 279253-25-7 CAPLUS  
CN Spiro[11H-imidazo[2,1-b][3]benzazepine-11,4'-piperidine]-3-carboxamide,  
1'-[3-(2,3-dihydro-2-oxo-1H-benzimidazol-1-yl)propyl]-5,6-dihydro- (9CI)  
(CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 279253-27-9 CAPLUS  
CN Carbamic acid, [2-[3-(aminocarbonyl)-5,6-dihydrospiro[11H-imidazo[2,1-  
b][3]benzazepine-11,4'-piperidin]-1'-yl]ethyl]-, 1,1-dimethylethyl ester  
(9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 279253-29-1 CAPLUS  
CN Spiro[11H-imidazo[2,1-b][3]benzazepine-11,4'-piperidine]-3-carboxamide,  
1'-(2-aminoethyl)-5,6-dihydro- (9CI) (CA INDEX NAME)



\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 279253-31-5 CAPLUS

CN Spiro[11H-imidazo[2,1-b][3]benzazepine-11,4'-piperidine]-1'-carboxylic acid, 3-(aminocarbonyl)-5,6-dihydro-, methyl ester (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 279253-33-7 CAPLUS

CN Spiro[11H-imidazo[2,1-b][3]benzazepine-11,4'-piperidine]-3-carboxamide, 5,6-dihydro-1'-methyl- (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 279253-35-9 CAPLUS

CN Spiro[11H-imidazo[2,1-b][3]benzazepine-11,4'-piperidine]-1'-carboxylic acid, 2,3-dibromo-5,6-dihydro-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 279253-37-1 CAPLUS

CN Spiro[11H-imidazo[2,1-b][3]benzazepine-11,4'-piperidine]-1'-carboxylic acid, 2,3-bis(aminocarbonyl)-5,6-dihydro-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 279253-39-3 CAPLUS

CN Spiro[11H-imidazo[2,1-b][3]benzazepine-11,4'-piperidine]-1'-carboxylic acid, 3-chloro-5,6-dihydro-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 279253-43-9 CAPLUS

CN Spiro[11H-imidazo[2,1-b][3]benzazepine-11,4'-piperidin]-6(5H)-one, 1'-methyl- (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 279253-45-1 CAPLUS

CN Spiro[11H-imidazo[2,1-b][3]benzazepine-11,4'-piperidin]-6(5H)-one, 1'-methyl-, (2E)-2-butenedioate (2:3) (9CI) (CA INDEX NAME)

CM 1

CRN 279253-43-9

CMF C17 H19 N3 O

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

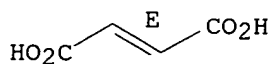
CM 2

CRN 110-17-8

CMF C4 H4 O4

CDES 2:E

Double bond geometry as shown.



09/868,535

RN 279253-48-4 CAPLUS  
CN Spiro[11H-imidazo[2,1-b][3]benzazepine-11,4'-piperidin]-6(5H)-one,  
(2E)-2-butenedioate (2:3) (9CI) (CA INDEX NAME)

CM 1

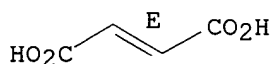
CRN 279253-47-3  
CMF C16 H17 N3 O

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

CM 2

CRN 110-17-8  
CMF C4 H4 O4  
CDES 2:E

Double bond geometry as shown.



RN 279253-51-9 CAPLUS  
CN Spiro[11H-imidazo[2,1-b][3]benzazepine-11,4'-piperidine],  
(2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

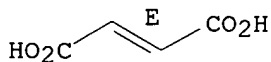
CRN 194158-03-7  
CMF C16 H17 N3

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

CM 2

CRN 110-17-8  
CMF C4 H4 O4  
CDES 2:E

Double bond geometry as shown.



RN 279253-53-1 CAPLUS  
CN Spiro[11H-imidazo[2,1-b][3]benzazepine-11,4'-piperidine],  
5,6-dihydro-2,3-bis(methoxymethyl)- (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 279253-55-3 CAPLUS  
CN Spiro[11H-imidazo[2,1-b][3]benzazepine-11,4'-piperidine]-1'-ethanamine,  
5,6-dihydro-, trihydrochloride (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 279253-58-6 CAPLUS  
CN Spiro[11H-imidazo[2,1-b][3]benzazepine-11,4'-piperidine]-1'-ethanamine,

5,6-dihydro-N-2-pyrimidinyl-, trihydrochloride (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 279253-60-0 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[2-(5,6-dihydrospiro[11H-imidazo[2,1-b][3]benzazepine-11,4'-piperidin]-1'-yl)ethyl]amino]-, trihydrochloride (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 279253-63-3 CAPLUS

CN Spiro[11H-imidazo[2,1-b][3]benzazepine-11,4'-piperidine], 3-chloro-5,6-dihydro-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 279253-62-2

CMF C16 H18 Cl N3

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

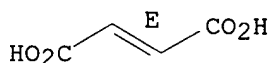
CM 2

CRN 110-17-8

CMF C4 H4 O4

CDES 2:E

Double bond geometry as shown.



RN 279253-66-6 CAPLUS

CN Spiro[11H-imidazo[2,1-b][3]benzazepine-11,4'-piperidine]-2,3-dicarboxamide, 5,6-dihydro-, dihydrochloride (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

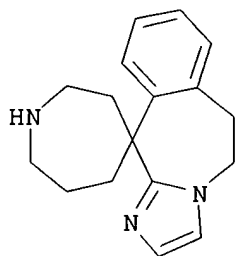
RN 279253-69-9 CAPLUS

CN Spiro[11H-imidazo[2,1-b][3]benzazepine-11,4'-piperidine], 5,6-dihydro-3-(methoxymethyl)- (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

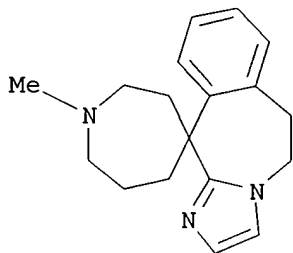
RN 279253-71-3 CAPLUS

CN Spiro[4H-azepine-4,11'-[11H]imidazo[2,1-b][3]benzazepine], 1,2,3,5,5',6,6',7-octahydro-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 279253-74-6 CAPLUS  
CN Spiro[4H-azepine-4,11'-[11H]imidazo[2,1-b][3]benzazepine],  
1,2,3,5,5',6,6',7-octahydro-1-methyl- (9CI) (CA INDEX NAME)



RN 279253-77-9 CAPLUS  
CN 5H-Thiazolo[3,2-a]pyrimidin-5-one, 6-[2-(5,6-dihydrospiro[11H-imidazo[2,1-b][3]benzazepine-11,4'-piperidin]-1'-yl)ethyl]-7-methyl-, trihydrochloride  
(9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 279253-79-1 CAPLUS  
CN 4H-Pyrido[1,2-a]pyrimidin-4-one, 3-[2-(5,6-dihydrospiro[11H-imidazo[2,1-b][3]benzazepine-11,4'-piperidin]-1'-yl)ethyl]-2-methyl- (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 279253-84-8 CAPLUS  
CN Spiro[11H-imidazo[2,1-b][3]benzazepine-11,4'-piperidine],  
1'-butyl-5,6-dihydro- (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 279253-85-9 CAPLUS  
CN Spiro[11H-imidazo[2,1-b][3]benzazepine-11,4'-piperidine],  
5,6-dihydro-3-(methoxymethyl)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 279253-69-9  
CMF C18 H23 N3 O

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

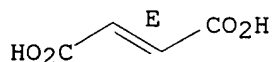
CM 2

CRN 110-17-8

CMF C4 H4 O4

CDES 2:E

Double bond geometry as shown.



IT 193469-37-3P 193469-45-3P 279253-47-3P  
 279253-87-1P 279253-88-2P 279253-89-3P  
 279253-90-6P 279253-91-7P 279253-92-8P  
 279253-93-9P 279253-94-0P 279253-95-1P  
 279253-96-2P 279254-07-8P 279254-08-9P  
 279254-09-0P 279254-10-3P 279254-11-4P  
 279254-12-5P 279254-13-6P 279254-14-7P  
 279254-15-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of spirotricyclic compds. as H1 receptor antagonists)

RN 193469-37-3 CAPLUS

CN Spiro[11H-imidazo[2,1-b][3]benzazepine-11,4'-piperidine],  
 5,6-dihydro-1'-(phenylmethyl)- (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 193469-45-3 CAPLUS

CN Spiro[11H-imidazo[2,1-b][3]benzazepine-11,4'-piperidine], 5,6-dihydro-  
 (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

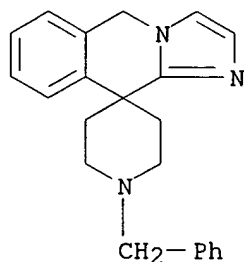
RN 279253-47-3 CAPLUS

CN Spiro[11H-imidazo[2,1-b][3]benzazepine-11,4'-piperidin]-6(5H)-one (9CI)  
 (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 279253-87-1 CAPLUS

CN Spiro[imidazo[1,2-b]isoquinoline-10(5H),4'-piperidine],  
 1'-(phenylmethyl)-, dihydrochloride (9CI) (CA INDEX NAME)



## ●2 HCl

RN 279253-88-2 CAPLUS  
 CN Spiro[11H-imidazo[2,1-b][3]benzazepine-11,4'-piperidine],  
 3-bromo-5,6-dihydro-1'-(phenylmethyl)- (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 279253-89-3 CAPLUS  
 CN Spiro[11H-imidazo[2,1-b][3]benzazepine-11,4'-piperidine],  
 3-bromo-5,6-dihydro-1'-(phenylmethyl)-, (2E)-2-butenedioate (1:1) (9CI)  
 (CA INDEX NAME)

CM 1

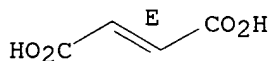
CRN 279253-88-2  
 CMF C23 H24 Br N3

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

CM 2

CRN 110-17-8  
 CMF C4 H4 O4  
 CDES 2:E

Double bond geometry as shown.



RN 279253-90-6 CAPLUS  
 CN Spiro[11H-imidazo[2,1-b][3]benzazepine-11,4'-piperidine]-3-carboxamide,  
 5,6-dihydro-1'-(phenylmethyl)- (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 279253-91-7 CAPLUS  
 CN Spiro[11H-imidazo[2,1-b][3]benzazepine-11,4'-piperidine]-3-methanol,  
 5,6-dihydro-1'-(phenylmethyl)- (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 279253-92-8 CAPLUS  
 CN Spiro[11H-imidazo[2,1-b][3]benzazepine-11,4'-piperidine]-3-carboxaldehyde,  
 5,6-dihydro-1'-(phenylmethyl)- (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 279253-93-9 CAPLUS

CN Spiro[11H-imidazo[2,1-b][3]benzazepine-11,4'-piperidine]-3-methanamine,  
5,6-dihydro-1'-(phenylmethyl)- (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 279253-94-0 CAPLUS

CN Spiro[11H-imidazo[2,1-b][3]benzazepine-11,4'-piperidine]-3-methanamine,  
5,6-dihydro-1'-(phenylmethyl)-, trihydrochloride (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 279253-95-1 CAPLUS

CN Urea, [[5,6-dihydro-1'-(phenylmethyl)spiro[11H-imidazo[2,1-b][3]benzazepine-11,4'-piperidin]-3-yl]methyl]- (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 279253-96-2 CAPLUS

CN Methanesulfonamide, N-[[5,6-dihydro-1'-(phenylmethyl)spiro[11H-imidazo[2,1-b][3]benzazepine-11,4'-piperidin]-3-yl]methyl]- (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 279254-07-8 CAPLUS

CN Spiro[11H-imidazo[2,1-b][3]benzazepine-11,4'-piperidine]-8,9-diol,  
5,6-dihydro-1'-(phenylmethyl)- (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 279254-08-9 CAPLUS

CN Spiro[11H-imidazo[2,1-b][3]benzazepine-11,4'-piperidine]-1'-carboxylic  
acid, 6-[(ethoxycarbonyl)oxy]-, ethyl ester (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 279254-09-0 CAPLUS

CN Spiro[11H-imidazo[2,1-b][3]benzazepine-11,4'-piperidine]-1'-carboxylic  
acid, 5,6-dihydro-, ethyl ester (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 279254-10-3 CAPLUS

CN Spiro[11H-imidazo[2,1-b][3]benzazepine-11,4'-piperidine]-1'-carboxylic  
acid, 5,6-dihydro-3-(hydroxymethyl)-, ethyl ester (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 279254-11-4 CAPLUS

CN Spiro[11H-imidazo[2,1-b][3]benzazepine-11,4'-piperidine]-1'-carboxylic  
acid, 3-formyl-5,6-dihydro-, 1,1-dimethylethyl ester (9CI) (CA INDEX  
NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 279254-12-5 CAPLUS

CN Spiro[11H-imidazo[2,1-b][3]benzazepine-11,4'-piperidine]-1',3-dicarboxylic  
acid, 5,6-dihydro-, 1'-(1,1-dimethylethyl) 3-methyl ester (9CI) (CA INDEX  
NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 279254-13-6 CAPLUS

CN Spiro[11H-imidazo[2,1-b][3]benzazepine-11,4'-piperidine]-1',3-dicarboxylic  
acid, 5,6-dihydro-, 1'-(1,1-dimethylethyl) ester (9CI) (CA INDEX NAME)

09/868,535

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 279254-14-7 CAPLUS

CN Spiro[11H-imidazo[2,1-b][3]benzazepine-11,4'-piperidine]-1'-carboxylic  
acid, 3-bromo-5,6-dihydro-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 279254-15-8 CAPLUS

CN Spiro[11H-imidazo[2,1-b][3]benzazepine-11,4'-piperidin]-6-ol, 5,6-dihydro-  
(9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT



L8 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2002 ACS  
 AN 1997:499057 CAPLUS  
 DN 127:149145  
 TI 1-(1,2-Disubstituted piperidiny1)-4-(fused imidazole)piperidine  
 derivatives useful as substance P antagonists  
 IN Janssens, Frans Eduard; Lunaerts, Joseph E.; Van Roosbroeck, Yves E. M.  
 PA Jansseen Pharmaceutica N. V., Belg.  
 SO PCT Int. Appl., 44 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English  
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9724356	A1	19970710	WO 1996-EP5885	19961220
	W: AL, AM, AU, BB, BG, BR, CA, CN, CU, CZ, EE, GE, HU, IL, IS, JP, KG, KR, LC, LK, LR, LT, LV, MD, MG, MN, MX, NO, NZ, PL, RO, SG, SI, SK, TR, TT, UA, US, UZ, VN				
	RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, BF, BJ, CF, CG, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	TW 382017	B	20000211	TW 1996-85115390	19961213
	CA 2238817	AA	19970710	CA 1996-2238817	19961220
	AU 9713086	A1	19970728	AU 1997-13086	19961220
	AU 716071	B2	20000217		
	EP 843679	A1	19980527	EP 1996-944693	19961220
	EP 843679	B1	20011107		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI				
	CN 1206417	A	19990127	CN 1996-199396	19961220
	CN 1066733	B	20010606		
	BR 9612307	A	19990713	BR 1996-12307	19961220
	JP 2000506503	T2	20000530	JP 1997-524033	19961220
	AT 208392	E	20011115	AT 1996-944693	19961220
	ES 2167619	T3	20020516	ES 1996-944693	19961220
	ZA 9610889	A	19980623	ZA 1996-10889	19961223
	NO 9802405	A	19980819	NO 1998-2405	19980527
	US 6251894	B1	20010626	US 1998-102136	19980622
PRAI	EP 1995-203652	A	19951227		
	WO 1996-EP5885	W	19961220		
OS	MARPAT 127:149145				
GI					

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB The invention concerns compds. I and their N-oxides, pharmaceutically acceptable addn. salts, and stereoisomers [wherein n = 0, 1, or 2; m = 1 or 2, provided that if m = 2, then n = 1; Q = O or NR<sub>3</sub>; X = bond, O, S, or NR<sub>3</sub>; R<sub>1</sub> = Ar<sub>1</sub>, Ar<sub>1</sub>-alkyl, or di-Ar<sub>1</sub>-alkyl, wherein each alkyl group is optionally substituted; R<sub>2</sub> = Ar<sub>2</sub>, Ar<sub>2</sub>-alkyl, Het, Het-alkyl; R<sub>3</sub> = H or alkyl; L = piperidine group Q<sub>1</sub> or spiropiperidine group Q<sub>2</sub>; Ar<sub>1</sub> = (un)substituted Ph; Ar<sub>2</sub> = naphthalenyl, (un)substituted Ph; Het = (un)substituted mono- or bicyclic heterocycle; AB = atoms to form (un)substituted benzo or certain 5-membered hetero fusions; dotted line = optional pi bond; Z = CH<sub>2</sub>, CH<sub>2</sub>CH<sub>2</sub>, CH:CH, CH<sub>2</sub>CH(OH), CH<sub>2</sub>O, CH<sub>2</sub>CO,

CH<sub>2</sub>C(:NOH), with provisos; R<sub>4</sub> = H, alkyl, halo, carboxyalkyl, etc.; R<sub>5</sub> = H, alkyl, hydroxyalkyl, Ar<sub>1</sub>, halo; or R<sub>4</sub>R<sub>5</sub> = CH:CHCH:CH, (CH<sub>2</sub>)<sub>4</sub>; R<sub>6</sub> = H, alkyl, Ar<sub>1</sub>-alkyl]. I are substance P antagonists, and are useful for treating a variety of conditions, esp. pain, emesis, or asthma. For instance, reductive amination of 1-(3,5-dimethylbenzoyl)-2-(phenylmethyl)-4-piperidinone with 6,11-dihydro-11-(4-piperidinylene)-5H-imidazo[2,1-b][3]benzazepine, by hydrogenation in the presence of a thiophene-poisoned Pd/C catalyst, gave title compd. II. In a test for antagonism of substance P-induced relaxation of isolated pig coronary arteries, I gave up to 100% inhibition at 3 .times. 10<sup>-9</sup> M.

IT **193469-37-3P 193469-38-4P 193469-39-5P**  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (intermediate; prepn. of piperidinyl(fused imidazole)piperidine derivs. as substance P antagonists)  
 RN 193469-37-3 CAPLUS  
 CN Spiro[11H-imidazo[2,1-b][3]benzazepine-11,4'-piperidine], 5,6-dihydro-1'-(phenylmethyl)- (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 193469-38-4 CAPLUS  
 CN Spiro[11H-imidazo[2,1-b][3]benzazepine-11,4'-piperidine], 5,6-dihydro-1'-(phenylmethyl)-, (2E)-2-butenedioate (1:2) (9CI) (CA INDEX NAME)

CM 1

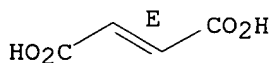
CRN 193469-37-3  
 CMF C23 H25 N3

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

CM 2

CRN 110-17-8  
 CMF C4 H4 O4  
 CDES 2:E

Double bond geometry as shown.



RN 193469-39-5 CAPLUS  
 CN Spiro[11H-imidazo[2,1-b][3]benzazepine-11,4'-piperidine], 5,6-dihydro-, monohydrochloride (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

IT **193469-20-4P 193469-21-5P 193469-23-7P**  
**193469-24-8P 193469-25-9P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (prepn. of piperidinyl(fused imidazole)piperidine derivs. as substance P antagonists)

RN 193469-20-4 CAPLUS  
 CN Piperidine, 1-[3,5-bis(trifluoromethyl)benzoyl]-4-(5,6-dihydrospiro[11H-

imidazo[2,1-b][3]benzazepine-11,4'-piperidin]-1'-yl)-2-(phenylmethyl)-,  
cis- (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 193469-21-5 CAPLUS

CN Piperidine, 1-[3,5-bis(trifluoromethyl)benzoyl]-4-(5,6-dihydrospiro[11H-imidazo[2,1-b][3]benzazepine-11,4'-piperidin]-1'-yl)-2-(phenylmethyl)-,  
trans- (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 193469-23-7 CAPLUS

CN Piperidine, 4-(5,6-dihydrospiro[11H-imidazo[2,1-b][3]benzazepine-11,4'-piperidin]-1'-yl)-1-(3,5-dimethylbenzoyl)-2-(phenylmethyl)-, cis- (9CI)  
(CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 193469-24-8 CAPLUS

CN Piperidine, 4-(5,6-dihydrospiro[11H-imidazo[2,1-b][3]benzazepine-11,4'-piperidin]-1'-yl)-1-(3,5-dimethylbenzoyl)-2-(phenylmethyl)-, trans- (9CI)  
(CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 193469-25-9 CAPLUS

CN Piperidine, 4-(5,6-dihydrospiro[11H-imidazo[2,1-b][3]benzazepine-11,4'-piperidin]-1'-yl)-1-(3,5-dimethylbenzoyl)-2-(phenylmethyl)- (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

IT **193469-45-3**

RL: RCT (Reactant); RACT (Reactant or reagent)  
(starting material; prepn. of piperidinyl(fused imidazole)piperidine  
derivs. as substance P antagonists)

RN 193469-45-3 CAPLUS

CN Spiro[11H-imidazo[2,1-b][3]benzazepine-11,4'-piperidine], 5,6-dihydro-  
(9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*